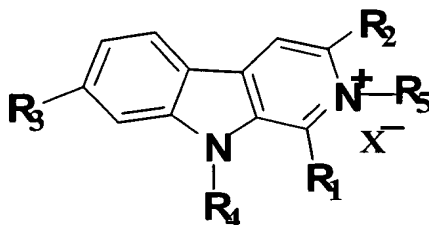


## IN THE CLAIMS

1. A compound of the following formula (I)



wherein

R<sub>1</sub> is selected from the group consisting of hydrogen, linear or branched C<sub>1-6</sub> alkyl, C<sub>6-10</sub> arylalkyl, mono- or multi-substituted C<sub>6-10</sub> arylalkyl, heterocyclic group and alkenyl, wherein the substituents are defined to be halogen, C<sub>1-4</sub> linear or branched alkyl, C<sub>1-4</sub> linear or branched alkoxy, nitro, amino, hydroxyl and carboxyl;

R<sub>2</sub> is selected from the group consisting of hydrogen, carboxyl, ester group, carboxylate, acylamino, acyl halide group, linear or branched C<sub>1-6</sub> alkoxy carbonyl, C<sub>6-10</sub> arylalkoxy carbonyl, mono- or multi- C<sub>6-10</sub> arylalkoxy carbonyl, and or heterocyclic oxycarbonyl, wherein the substituents are defined as above;

R<sub>3</sub> is selected from the group consisting of hydrogen, hydroxyl, linear or branched C<sub>1-6</sub> alkoxy, carboxylic esters, carboxylic salts, C<sub>6-10</sub> arylalkoxy, and heterocyclic oxy group;

R<sub>4</sub> is selected from the group consisting of hydrogen, linear or branched C<sub>1-6</sub> alkyl, hydroxyl- linear or branched C<sub>1-6</sub> alkyl, C<sub>6-10</sub> arylalkyl, mono- or multi-substituted C<sub>6-10</sub> arylalkyl, and heterocyclic group, wherein the substituents are defined as above;

R<sub>5</sub> is selected from the group consisting of hydrogen, C<sub>1-6</sub> linear or branched alkyl, C<sub>6-10</sub> arylalkyl, mono- or multi-substituted C<sub>6-10</sub> arylalkyl, wherein the substituents are defined as above;

X is selected from the group consisting of pharmacologically acceptable organic or inorganic acid radical, wherein the organic acids include Lewis acid,

or R<sub>5</sub> and X do not co-exist; and

R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>5</sub> do not represent hydrogen at the same time, and

when R<sub>2</sub>, R<sub>4</sub> and R<sub>5</sub> are hydrogen, R<sub>1</sub> does not represent methyl and R<sub>3</sub> does not represent methoxy;

when R<sub>1</sub> is methyl, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>5</sub> do not represent hydrogen at the same time;

when R<sub>1</sub> is methyl, R<sub>2</sub> and R<sub>5</sub> are hydrogen, and R<sub>3</sub> is methoxy, R<sub>4</sub> is not methyl, ethyl or butyl;

when R<sub>1</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>5</sub> are hydrogen, R<sub>2</sub> is not C<sub>1-4</sub> linear or branched alkoxy carbonyl;

when R<sub>1</sub> is methyl, R<sub>2</sub> is hydrogen, and R<sub>3</sub> is linear or branched alkoxy, R<sub>4</sub> and R<sub>5</sub> do not represent hydrogen at the same time,

when R<sub>1</sub>, R<sub>3</sub> and R<sub>4</sub> are hydrogen, R<sub>2</sub> is ethoxycarbonyl and X is trifluoromethylsilyl, R<sub>5</sub> is not *n*-propyl, allyl, or ortho-, meta-, or *p*-fluorobenzyl; and at the same time

the following compounds are excluded:

Ethyl 1-methyl- $\beta$ -carboline-3-carboxylate,

Methyl-1-phenyl- $\beta$ -carboline-3-carboxylate,

Methyl 1-(4-methoxy) phenyl- $\beta$ -carboline-3-carboxylate,

$\beta$ -Carboline-3-carboxylic acid,

3-Hydroxymethyl- $\beta$ -carboline,

3-Amino- $\beta$ -carboline,

3-[(Methoxycarbonyl)amino]-  $\beta$ -carboline,

3-[(Ethoxycarbonyl)amino]- $\beta$ -carboline,  
Ethyl 9-methyl- $\beta$ -carboline-3-carboxylate,

Ethyl 1,9-dimethyl- $\beta$ -carboline-3-carboxylate,

Ethyl 9-benzyl-1-methyl- $\beta$ -carboline-3-carboxylate, and

9-Methyl- $\beta$ -carboline.

2. The compound according to claim 1, characterized in that  $R_1$  is selected from the group consisting of hydrogen,  $C_{1-6}$  linear or branched alkyl,  $C_{6-10}$  aryl- $C_{0-6}$  linear or branched alkyl, mono- or multi-substituted  $C_{6-10}$  aryl- $C_{0-6}$  linear or branched alkyl.

3. The compound according to claim 2, characterized in that  $R_1$  is selected from the group consisting of hydrogen,  $C_{1-4}$  linear or branched alkyl,  $C_{6-10}$  aryl- $C_{0-4}$  linear or branched alkyl, mono- or multi-substituted  $C_{6-10}$  aryl- $C_{0-4}$  linear or branched alkyl.

4. The compound according to claim 3, characterized in that  $R_1$  is selected from the group consisting of hydrogen,  $C_{1-2}$  alkyl, phenyl- $C_{0-4}$  linear or branched alkyl, mono- or multi-substituted phenyl- $C_{0-4}$  linear or branched alkyl.

5. The compound according to claim 4, characterized in that  $R_1$  is selected from hydrogen, methyl, phenyl, and mono- or multi-substituted phenyl.

6. (Canceled)

7. The compound according to claim 5, characterized in that  $R_1$  is hydrogen.

8. The compound according to claim 5, characterized in that  $R_1$  is methyl.

9. The compound according to claim 1, characterized in that  $R_2$  is selected from the group consisting of hydrogen, carboxylic acid, carboxylic metal salts,  $C_{1-6}$  linear or branched alkoxycarbonyl,  $C_{6-10}$  aryl- $C_{1-6}$  linear or branched alkoxycarbonyl, mono- or multi-  $C_{6-10}$  aryl- $C_{1-6}$  linear or branched alkoxycarbonyl, and when  $R_2$  is a carboxylic metal salt,  $R_5$  and X are not present simultaneously.

10. The compound according to claim 9, characterized in that  $R_2$  is selected from the group consisting of hydrogen, carboxylic acid, carboxylic metal salts,  $C_{1-4}$  linear or branched alkoxycarbonyl, phenyl- $C_{1-4}$  alkoxycarbonyl, mono- or multi-phenyl- $C_{1-4}$  alkoxycarbonyl, and when  $R_2$  is a carboxylic metal salt,  $R_5$  and X are not present simultaneously.

11. The compound according to claim 10, characterized in that  $R_2$  is selected from the group consisting of hydrogen, carboxylic acid, carboxylic alkali metal salts,  $C_{1-2}$  alkoxy carbonyl, benzyloxy carbonyl, wherein the alkali metals refer to lithium, sodium, potassium, rubidium and cesium.

12. (Canceled)

13. The compound according to claim 12, characterized in that  $R_2$  is hydrogen.

14. The compound according to claim 12, characterized in that  $R_2$  is carboxylic acid.

15. The compound according to claim 12, characterized in that  $R_2$  is sodium carboxylate.

16. The compound according to claim 12, characterized in that  $R_2$  is ethoxy carbonyl.

17. The compound according to claim 1, characterized in that  $R_3$  is selected from the group consisting of hydrogen, hydroxyl,  $C_{1-6}$  linear or branched alkoxy,  $C_{6-10}$  aryl- $C_{1-6}$  linear or branched alkoxy, and heterocyclic oxy group.

18. The compound according to claim 17, characterized in that  $R_3$  is selected from the group of hydrogen, hydroxyl, and  $C_{1-4}$  linear or branched alkoxy.

19. The compound according to claim 18, characterized in that  $R_3$  is selected from the group consisting of hydrogen and  $C_{1-2}$  alkoxy.

20. The compound according to claim 19, characterized in that  $R_3$  is hydrogen.

21. The compound according to claim 1, characterized in that  $R_4$  is selected from the group consisting of hydrogen,  $C_{1-6}$  linear or branched alkyl, hydroxyl-  $C_{1-6}$  linear or branched alkyl,  $C_{6-10}$  aryl- $C_{1-6}$  linear or branched alkyl, and mono- or multi-substituted  $C_{6-10}$  aryl- $C_{1-6}$  linear or branched alkyl.

22. The compound according to claim 21, characterized in that  $R_4$  is selected from the group consisting of hydrogen,  $C_{1-4}$  linear or branched alkyl, hydroxyl- $C_{1-4}$  linear or branched alkyl,  $C_{6-10}$  aryl- $C_{1-4}$  linear or branched alkyl, and mono- or multi-substituted  $C_{6-10}$  aryl- $C_{1-4}$  linear or branched

alkyl.

23. The compound according to claim 22, characterized in that  $R_4$  is selected from the group consisting of hydrogen,  $C_{1-4}$  linear or branched alkyl, hydroxyl- $C_{1-2}$  alkyl, phenyl- $C_{1-4}$  linear or branched alkyl, and mono- or multi-substituted phenyl-( $C_{1-4}$ ) linear or branched alkyl.

24. The compound according to claim 23, characterized in that  $R_4$  is selected from the group consisting of hydrogen,  $C_{1-4}$  linear or branched alkyl, phenyl- $C_{1-2}$  alkyl, and mono- or multi-substituted phenyl- $C_{1-2}$  alkyl.

25. (Canceled)

26. The compound according to claim 25, characterized in that  $R_4$  is butyl.

27. The compound according to claim 25, characterized in that  $R_4$  is benzyl.

28. The compound according to claim 25, characterized in that  $R_4$  is pentafluorobenzyl.

29. The compound according to claim 1, characterized in that  $R_5$  is selected from the group consisting of hydrogen, linear or branched  $C_{1-6}$  alkyl,  $C_{6-10}$  aryl- $C_{1-6}$  linear or branched alkyl, mono- or multi-substituted  $C_{6-10}$  aryl- $C_{1-6}$  linear or branched alkyl, and heterocyclic ring; or  $R_5$  is not present.

30. The compound according to claim 29, characterized in that  $R_5$  is selected from the group consisting of hydrogen, linear or branched  $C_{1-4}$  alkyl,  $C_{6-10}$  aryl- $C_{1-4}$  linear or branched alkyl, mono- or multi-substituted  $C_{6-10}$  aryl- $C_{1-4}$  linear or branched alkyl, and heterocyclic ring; or  $R_5$  is not present.

31. The compound according to claim 30, characterized in that  $R_5$  is selected from the group consisting of hydrogen, linear or branched  $C_{2-3}$  alkyl, phenyl- $C_{1-4}$  linear or branched alkyl, mono- or multi-substituted phenyl- $C_{1-4}$  linear or branched alkyl; or  $R_5$  is not present.

32. The compound according to claim 31, characterized in that  $R_5$  is selected from the group consisting of hydrogen, phenyl- $C_{1-2}$  alkyl, mono- or multi-substituted phenyl- $C_{1-2}$  alkyl; or  $R_5$  is not present.

33. The compound according to claim 32, characterized in that  $R_5$  is selected from the group consisting of hydrogen, benzyl, mono- or multi-halogenated benzyl; or  $R_5$  is not present.

34. (Canceled)

35. The compound according to claim 34, characterized in that  $R_5$  is hydrogen.

36. The compound according to claim 34, characterized in that  $R_5$  is benzyl.

37. The compound according to claim 1, characterized in that X is selected from the group consisting of halogen, nitroxyl, sulfuric acid group, sulfonic acid group, and phosphate group; or X is not present.

38. The compound according to claim 37, characterized in that X is halogen; or X is not present.

39. (Canceled)

40. The compound according to claim 38, characterized in that X is chloro.

41. The compound according to claim 38, characterized in that X is bromine.

42. The compound according to claim 38, characterized in that X is iodine.

43. The compound according to claim 1, characterized in that  $R_1$  is selected from the group consisting of hydrogen,  $C_{1-6}$  linear or branched alkyl,  $C_{6-10}$  aryl- $C_{0-6}$  linear or branched alkyl, mono- or multi-substituted  $C_{6-10}$  aryl- $C_{0-6}$  linear or branched alkyl;  $R_2$  is selected from the group consisting of hydrogen, carboxylic acid group, carboxylates,  $C_{1-6}$  linear or branched alkoxycarbonyl,  $C_{6-10}$  aryl- $C_{1-6}$  linear or branched alkoxycarbonyl, mono- or multi-  $C_{6-10}$  aryl- $C_{1-6}$  linear or branched alkoxycarbonyl;  $R_3$  is selected from the group consisting of hydrogen, hydroxyl,  $C_{1-6}$  linear or branched alkoxy,  $C_{6-10}$  aryl- $C_{1-6}$  linear or branched alkoxy;  $R_4$  is selected from the group consisting of hydrogen,  $C_{1-6}$  linear or branched alkyl, hydroxyl-  $C_{1-6}$  linear or branched alkyl,  $C_{6-10}$  aryl- $C_{1-6}$  linear or branched alkyl, and mono- or multi-substituted  $C_{6-10}$  aryl- $C_{1-6}$  linear or branched alkyl;  $R_5$  is selected from the group consisting of hydrogen,  $C_{1-6}$  linear or branched alkyl,  $C_{6-10}$  aryl- $C_{1-6}$  linear or branched alkyl, mono- or multi-substituted  $C_{6-10}$  aryl- $C_{1-6}$  linear or branched alkyl; X is selected from the group consisting of halogen, sulfonic acid group, sulfuric acid group, nitroxyl, and phosphate group; or  $R_5$  and X do not co-exist simultaneously.

44. The compound according to claim 43, characterized in that  $R_1$  is selected

from the group consisting of hydrogen, C<sub>1-4</sub> linear or branched alkyl, C<sub>6-10</sub> aryl-C<sub>0-4</sub> linear or branched alkyl, mono- or multi-substituted C<sub>6-10</sub> aryl-C<sub>0-4</sub> linear or branched alkyl; R<sub>2</sub> is selected from the group consisting of hydrogen, carboxylic acid group, carboxylic alkali metal salts, C<sub>1-4</sub> linear or branched alkoxycarbonyl, C<sub>6-10</sub> aryl-C<sub>1-4</sub> linear or branched alkoxycarbonyl, mono- or multi- C<sub>6-10</sub> aryl-C<sub>1-4</sub> linear or branched alkoxycarbonyl; R<sub>3</sub> is selected from the group consisting of hydrogen, hydroxyl, C<sub>1-4</sub> linear or branched alkoxy; R<sub>4</sub> is selected from the group consisting of hydrogen, C<sub>1-4</sub> linear or branched alkyl, hydroxyl- C<sub>1-4</sub> linear or branched alkyl, C<sub>6-10</sub> aryl-C<sub>1-4</sub> linear or branched alkyl, and mono- or multi-substituted C<sub>6-10</sub> aryl-C<sub>1-4</sub> linear or branched alkyl; R<sub>5</sub> is selected from the group consisting of hydrogen, C<sub>1-4</sub> linear or branched alkyl, C<sub>6-10</sub> aryl-C<sub>1-4</sub> linear or branched alkyl, mono- or multi-substituted C<sub>6-10</sub> aryl-C<sub>1-4</sub> linear or branched alkyl; X is selected from the group consisting of halogen, sulfuric acid group, sulfonic acid group, nitroxyl; or R<sub>5</sub> and X do not co-exist simultaneously.

45. The compound according to claim 44, characterized in that R<sub>1</sub> is selected from the group consisting of hydrogen, C<sub>1-2</sub> alkyl, phenyl-C<sub>0-2</sub> alkyl, mono- or multi-substituted phenyl-C<sub>0-2</sub> alkyl; R<sub>2</sub> is selected from the group consisting of hydrogen, carboxylic acid group, carboxylic alkali metal salts, C<sub>1-2</sub> alkoxycarbonyl; R<sub>3</sub> is selected from the group consisting of hydrogen, hydroxyl, and C<sub>1-2</sub> alkoxy; R<sub>4</sub> is selected from the group consisting of hydrogen, C<sub>1-4</sub> linear or branched alkyl, phenyl- C<sub>1-2</sub> alkyl, and mono- or multi-substituted phenyl-C<sub>1-2</sub> alkyl; R<sub>5</sub> is selected from the group consisting of hydrogen, C<sub>3-4</sub> linear or branched alkyl, phenyl-C<sub>1-2</sub> alkyl, mono- or multi-substituted phenyl-C<sub>1-2</sub> alkyl; X is halogen; or R<sub>5</sub> and X do not co-exist simultaneously.

46. The compound according to claim 45, characterized in that R<sub>1</sub> is selected from the group consisting of hydrogen, methyl, phenyl, mono- or multi-substituted phenyl; R<sub>2</sub> is selected from the group consisting of hydrogen, carboxylic acid group, sodium or potassium carboxylate, and ethoxycarbonyl; R<sub>3</sub> is selected from the group consisting of hydrogen, hydroxyl, and C<sub>1-2</sub> alkoxy; R<sub>4</sub> is selected from the group consisting of hydrogen, ethyl, butyl, benzyl, and pentafluorobenzyl; R<sub>5</sub> is selected from the group consisting of hydrogen, linear or branched butyl, benzyl, and pentafluorobenzyl; X is selected from the group consisting of chloro, bromine and iodine; or R<sub>5</sub> and X do not co-exist simultaneously.

47. The compound according to claim 46, wherein R<sub>1</sub> is hydrogen or methyl; R<sub>2</sub> is carboxylic acid group, sodium carboxylate, or ethoxycarbonyl; R<sub>3</sub> is hydrogen; R<sub>4</sub> is butyl or benzyl; R<sub>5</sub> is hydrogen or benzyl; X is chloro or bromine; or R<sub>5</sub> and X do not co-exist simultaneously.

48. The compound according to claim 1, wherein R<sub>1</sub> is hydrogen; R<sub>2</sub> is ethoxycarbonyl; R<sub>3</sub> is hydrogen; R<sub>4</sub> is benzyl; R<sub>5</sub> is hydrogen; and X is chloro.

49. The compound according to claim 1, wherein R<sub>1</sub> is hydrogen; R<sub>2</sub> is ethoxycarbonyl; R<sub>3</sub> is hydrogen; R<sub>4</sub> is benzyl; R<sub>5</sub> and X do not co-exist simultaneously.

50. The compound according to claim 1, wherein R<sub>1</sub> is methyl; R<sub>2</sub> is ethoxycarbonyl; R<sub>3</sub> is hydrogen; R<sub>4</sub> is pentafluorobenzyl; R<sub>5</sub> is hydrogen, and X is chloro.

51. The compound according to claim 1, wherein R<sub>1</sub> is methyl; R<sub>2</sub> is ethoxycarbonyl; R<sub>3</sub> is hydrogen; R<sub>4</sub> is pentafluorobenzyl; and X do not co-exist simultaneously.

52. The compound according to claim 1, wherein R<sub>1</sub> is hydrogen; R<sub>2</sub> is COOH; R<sub>3</sub> is hydrogen; R<sub>4</sub> is n-butyl; R<sub>5</sub> is hydrogen; and X is chloro.

53. The compound according to claim 1, wherein R<sub>1</sub> is hydrogen; R<sub>2</sub> is COOH; R<sub>3</sub> is hydrogen; R<sub>4</sub> is n-butyl; R<sub>5</sub> is hydrogen; and X do not co-exist simultaneously.

54. The compound according to claim 1, wherein R<sub>1</sub> is hydrogen; R<sub>2</sub> is COOM; R<sub>3</sub> is hydrogen; R<sub>4</sub> is n-butyl; R<sub>5</sub> is hydrogen; X do not co-exist simultaneously; wherein M is a metal.

55. (Canceled)

56. (Canceled)

57. The compound according to claim 55, wherein M is Na.

58. The compound according to claim 55, wherein M is K.

59. The compound according to claim 1, wherein R<sub>1</sub> is hydrogen, R<sub>2</sub> is ethoxycarbonyl, R<sub>3</sub> is hydrogen, R<sub>4</sub> is benzyl, R<sub>5</sub> is benzyl and X is bromine.

60. The compound according to claim 1, wherein R<sub>1</sub> is hydrogen, R<sub>2</sub> is hydrogen, R<sub>3</sub> is hydrogen, R<sub>4</sub> is benzyl, R<sub>5</sub> is benzyl and X is bromine.



61. The compound according to claim 1, which is selected from the group consisting of the following compounds or pharmacologically acceptable salts thereof:

9-Hydroxyethyl-7-methoxy- $\beta$ -carboline;

9-Benzyl-7-methoxy- $\beta$ -carboline;

9-(2',3',4',5',6'-Pentafluoro)benzyl-7-methoxy- $\beta$ -carboline;

9-Phenylpropyl-7-methoxy- $\beta$ -carboline;

Ethyl 1-ethyl- $\beta$ -carboline-3-carboxylate;

Ethyl 1-n-propyl- $\beta$ -carboline-3-carboxylate;

Methyl 1-(4-hydroxyphenyl)- $\beta$ -carboline-3-carboxylate;

3-Acetyloxomethyl- $\beta$ -carboline;

Methyl 9-methyl- $\beta$ -carboline-3-carboxylate;

Methyl 9-ethyl- $\beta$ -carboline-3-carboxylate;

Methyl 9-butyl- $\beta$ -carboline-3-carboxylate;

Methyl 9-benzyl- $\beta$ -carboline-3-carboxylate;

Ethyl 9-ethyl- $\beta$ -carboline-3-carboxylate;

Ethyl 9-butyl- $\beta$ -carboline-3-carboxylate;

Ethyl 9-benzyl- $\beta$ -carboline-3-carboxylate;

Ethyl 9-(2',3',4',5',6'-pentafluoro)benzyl- $\beta$ -carboline-3-carboxylate;

Butyl 9-phenylpropyl- $\beta$ -carboline-3-carboxylate;

Butyl 9-acetophenone- $\beta$ -carboline-3-carboxylate;

Butyl 9-methyl- $\beta$ -carboline-3-carboxylate;

Butyl 9-ethyl- $\beta$ -carboline-3-carboxylate;

Butyl 9-benzyl- $\beta$ -carboline-3-carboxylate;  
 Benzyl 9-benzyl- $\beta$ -carboline-3-carboxylate;  
 9-Benzyl-3-hydroxymethyl- $\beta$ -carboline;  
 9-Benzyl-3-acetyloxomethyl- $\beta$ -carboline;  
 3-Carbohydrazide-9-ethyl- $\beta$ -carboline;  
 3-Carbohydrazide-9-benzyl- $\beta$ -carboline;  
 3-[(Methoxycarbonyl)amino]-9-ethyl- $\beta$ -carboline;  
 3-[(Ethoxycarbonyl)amino]-9-ethyl- $\beta$ -carboline;  
 3-[(Ethoxycarbonyl)amino]-9-benzyl- $\beta$ -carboline;  
 Ethyl 9-ethyl-1-methyl- $\beta$ -carboline-3-carboxylate;  
 Ethyl 9-butyl-1-methyl- $\beta$ -carboline-3-carboxylate;  
 Ethyl 9-(2',3',4',5',6'-pentafluoro)benzyl-1-methyl- $\beta$ -carboline-3-carboxylate;  
 Ethyl 9-phenylpropyl-1-methyl- $\beta$ -carboline-3-carboxylate;  
 Ethyl 9-acetophenone-1-methyl- $\beta$ -carboline-3-carboxylate;  
 Ethyl 1-propyl-9-methyl- $\beta$ -carboline-3-carboxylate;  
 Ethyl 1-propyl-9-ethyl- $\beta$ -carboline-3-carboxylate;  
 Ethyl 9-benzyl-1-propyl- $\beta$ -carboline-3-carboxylate;  
 Ethyl 9-phenylpropyl-1-propyl- $\beta$ -carboline-3-carboxylate;  
 Methyl 1-phenyl-9-methyl- $\beta$ -carboline-3-carboxylate and  
 Methyl 1-phenyl-9-ethyl- $\beta$ -carboline-3-carboxylate.

62. The compound according to claim 61, the pharmacologically acceptable

salt thereof being hydrochloride salt.

63. The compound according to claim 1, which is selected from the group consisting of the following compounds or pharmacologically acceptable carboxylates thereof:

9-Methyl- $\beta$ -carboline-3-carboxylic acid;

9-Ethyl- $\beta$ -carboline-3-carboxylic acid;

9-Butyl- $\beta$ -carboline-3-carboxylic acid;

9-Benzyl- $\beta$ -carboline-3-carboxylic acid;

9-(2',3',4',5',6'-Pentafluoro)benzyl- $\beta$ -carboline-3-carboxylic acid;

9-Phenylpropyl - $\beta$ -carboline-3-carboxylic acid;

9-Acetophenone- $\beta$ -carboline-3-carboxylic acid;

9-Methyl-1-methyl- $\beta$ -carboline-3-carboxylic acid;

9-Ethyl-1-methyl- $\beta$ -carboline-3-carboxylic acid;

9-Butyl-1-methyl- $\beta$ -carboline-3-carboxylic acid;

9-Benzyl-1-methyl- $\beta$ -carboline-3-carboxylic acid;

9-(2',3',4',5',6'-Pentafluoro)benzyl-1-methyl- $\beta$ -carboline-3-carboxylic acid;

9-Phenylpropyl-1-methyl- $\beta$ -carboline-3-carboxylic acid;

9-Acetophenone-1-methyl- $\beta$ -carboline-3-carboxylic acid;

1-Propyl-9-methyl- $\beta$ -carboline-3-carboxylic acid;

1-Propyl-9-ethyl- $\beta$ -carboline-3-carboxylic acid;

9-Benzyl-1-propyl- $\beta$ -carboline-3-carboxylic acid;

9-Phenylpropyl-1-propyl- $\beta$ -carboline-3-carboxylic acid;

1-Phenyl-9-methyl- $\beta$ -carboline-3-carboxylic acid and

1-Phenyl-9-ethyl- $\beta$ -carboline-3-carboxylic acid.

64. The compound according to claim 63, wherein the carboxylate is a carboxylic metal salt.

65. (Canceled)

66. (Canceled)

67. The compound according to claim 65, wherein the alkali metal is Na.

68. The compound according to claim 65, wherein the alkali metal is K.

69. The compound according to claim 1, which is selected from the group consisting of the following compounds:

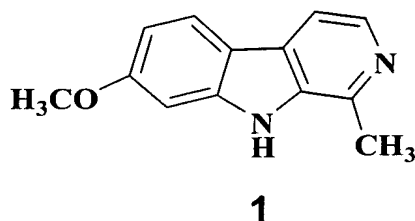
2,9-Dibenzyl-3-ethoxycarbonyl- $\beta$ -carbolinium iodate;

2,9-Dimethyl- $\beta$ -carbolinium iodate; and

2,9-Diethyl- $\beta$ -carbolinium iodate;

70. A method for preparing the compound according to claim 1 comprising the following steps:

1) dissolving harmine (**1**) into an organic solvent or a mixed organic solvent;



2) adding 60% NaH and stirring it until there is no bubble formed;

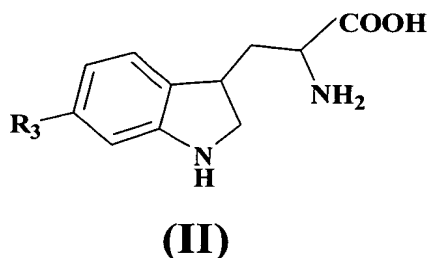
3) adding halogenated alkane;

4) stirring and reacting said mixture at room temperature for 1 to 5 h; and

5) subjecting said mixture to conventional post-treatment and purification to produce 1,7,9-trisubstituted  $\beta$ -carboline alkaloids.

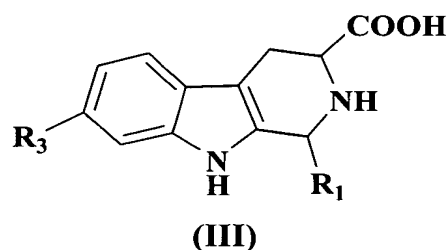
71. A process for preparing the compound according to claim 1 comprising the following steps:

1) using a compound of formula II as the raw material;



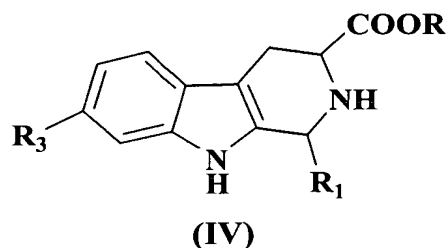
wherein  $R_3$  is as defined above;

said compound is reacted with an aldehyde ( $R_1CHO$ ) under the Pictet-Spengler condensation conditions of organic synthesis to form a compound of formula III;



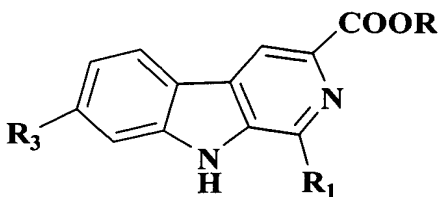
wherein  $R_1$  and  $R_3$  are as defined above;

2) the compound of formula III is reacted with an alcohol under conventional esterification conditions of organic synthesis to form a compound of formula IV;



wherein  $R_1$  and  $R_3$  are as defined above, and the definition of R is the same as  $R_1$ ;

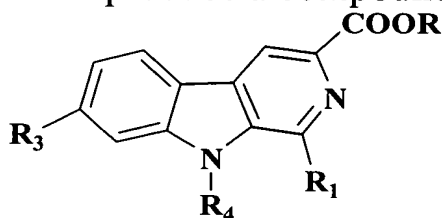
3) the compound of formula IV is reacted with a conventional oxidant under conventional oxidation conditions of organic synthesis to form a compound of formula V;



(V)

wherein  $R_1$  and  $R_3$  are as defined above, and the definition of R is the same as  $R_1$ ;

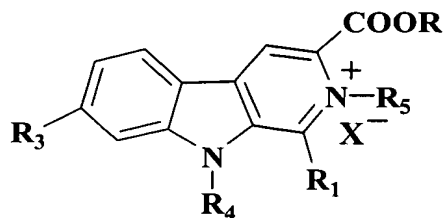
4) dissolving the compound of formula V in an organic solvent or a mixed organic solvent; adding NaH and stirring it until there is no bubble formed, adding halogenated alkane or aromatics; stirring and reacting said mixture at room temperature or by heating for 2 to 5 h; subjecting said mixture to conventional post-treatment to produce a compound of formula VI;



(VI)

wherein  $R_1$ ,  $R_3$  and  $R_4$  are as defined above, and the definition of R is the same as  $R_1$ ;

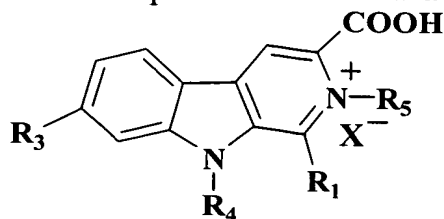
5) the compound of formula VI is reacted with an organic or inorganic acid under conventional salt-forming conditions of organic synthesis to form a compound of formula Ia, i.e. a specific example of the compound of formula I;



(Ia)

wherein  $R_1$ ,  $R_3$ ,  $R_4$ ,  $R_5$  and X are as defined above, and the definition of R is the same as  $R_1$ ;

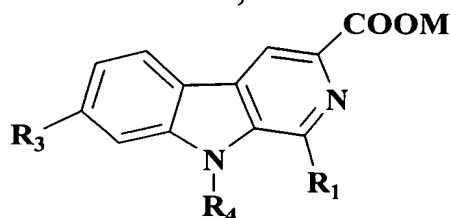
6) a hydrolysis reaction is conducted with the compound of formula VI under conventional hydrolysis conditions of organic synthesis followed by acidification by a conventional method to form a compound of formula Ib, i.e. a specific example of the compound of formula I;



(Ib)

wherein  $R_1$ ,  $R_3$ ,  $R_4$ ,  $R_5$  and  $X$  are as defined above, the definition of  $R$  is the same as  $R_1$ , or  $R_5$  and  $X$  are absent simultaneously; and

7) a hydrolysis reaction is conducted with the compound of formula VI under conventional hydrolysis conditions of organic synthesis followed by acidification by a conventional method to form a compound having a free carboxylic acid group and then to form a compound of formula Ic by forming a salt with a base according to a conventional method, i.e. a specific example of the compound of formula I;

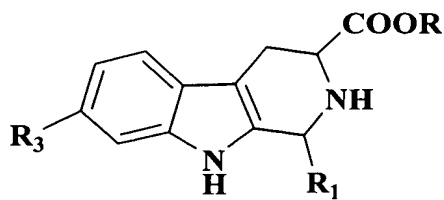


(Ic)

wherein  $R_1$ ,  $R_3$ , and  $R_4$  are as defined above, the definition of  $R$  is the same as  $R_1$ , and  $M$  represents an alkali metal.

72. A process for preparing the compound according to claim 1 comprising the following steps:

1) mixing a compound of formula IV with glacial acetic acid,

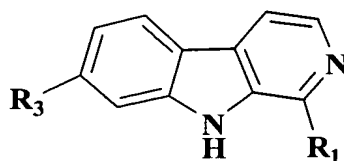


(IV)

2) adding selenium dioxide;

3) refluxing said mixture by heating for 12 h; and

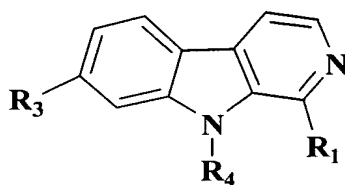
4) subjecting the mixture to conventional post-treatment and purification to produce a compound of formula VII



(VII)

wherein  $R_1$  and  $R_3$  are as defined above, and the definition of  $R$  is the same as  $R_1$ ;

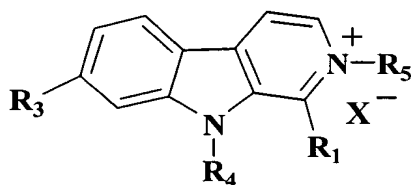
5) dissolving the compound of formula VII in an organic solvent or a mixed organic solvent; adding NaH and stirring it until there is no bubble formed, adding halogenated alkane or aromatics; stirring and reacting said mixture at room temperature or by heating for 2 to 5 h; subjecting said mixture to conventional post-treatment to produce a compound of formula VIII;



(VIII)

wherein  $R_1$ ,  $R_3$  and  $R_4$  are as defined above, and the definition of  $R$  is the same as  $R_1$ ;

6) the compound of formula VIII is reacted with an organic or inorganic acid under conventional salt-forming conditions of organic synthesis to form a compound of formula Id, i.e. a specific example of the compound of formula I;



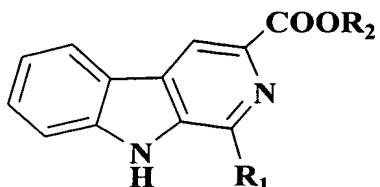
(Id)

wherein  $R_1$ ,  $R_3$ ,  $R_4$ ,  $R_5$  and  $X$  are as defined above, and the definition of  $R$  is the same as  $R_1$ .



73. A process for preparing the compound according to claim 1 comprising the following steps:

1) mixing a compound of the following formula with an organic solvent and 60% NaH;



wherein  $R_1=H$  and  $R_2=C_2H_5$ ;

2) stirring and reacting said mixture at room temperature for 5 minutes;

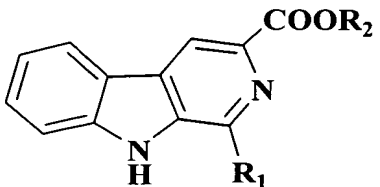
3) adding benzyl iodide;

4) stirring and reacting the mixture at a temperature of from 50 to 70°C for 2 h; and

5) subjecting the mixture to conventional post-treatment and purification to produce 2,9-dibenzyl-3-ethoxycarbonyl-β-carboline iodate.

74. A process for preparing the compound according to claim 1 comprising the following steps:

1) mixing a compound of the following formula with an organic solvent and 60% NaH;



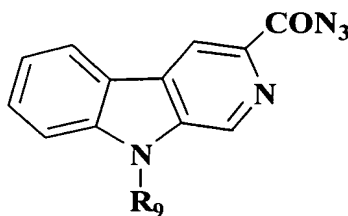
wherein  $R_1=H$  and  $R_2=C_2H_5$ ;

2) adding benzyl bromide;

3) stirring and reacting said mixture at a temperature of from 50 to 70°C for 5 h; and

4) subjecting the mixture to conventional post-treatment and purification to produce 2,9-dibenzyl-3-ethoxycarbonyl- $\beta$ -carbolinium bromate.

75. A compound of the following formula (53a-55a):



**53a-55a**

wherein

R<sub>9</sub> is methyl, ethyl, n-butyl, benzyl, phenylpropyl, mono- or polyhalogenated benzyl or mono- or polyhalogenated phenylpropyl.

76. (Currently amended) A pharmaceutical composition for treating tumors, comprising as an active ingredient at least one therapeutically effective amount of a compound of formula I according to [any one of claims] claim 1 [to 69], alone or combined with one or more pharmaceutically acceptable, inert and non-toxic excipients or carriers.

77. (Currently amended) Use of a compound of [any one of claims] claim 1 [to 69] in the manufacture of a medicament for treating tumors.

78. The use according to claim 77, wherein the tumors refer to alimentary tract tumors, including oral carcinoma, oesophagus cancer, gastric carcinoma, liver cancer and intestinal cancer tumors.

79. The use according to claim 77, wherein the tumors refer to the lung cancer tumors.

80. (Canceled)

81. (Canceled)

82. (Canceled)

83. The use according to claim 77, wherein the tumors refer to the cervical carcinoma tumors.

84. (Currently amended) The use of a compound of [any one of claims] claim 1 [to 69] in the manufacture of a medicament combined with phototherapy and radiation therapy for treating tumors.